

ABSTRACT OF THE DISCLOSURE

The present invention is directed to the identification of compounds in a compound library which can mediate the biological activity of a target receptor protein, even when the
5 ligands which mediate that activity through binding to that receptor are not already known. Such compounds can then be used as "drug leads", i.e., used as a starting point for the design of analogues which can in turn be tested for activity.

The method of the invention comprises three steps:

- 10 (1) Screen at least one potential surrogate combinatorial library for members (preferably peptides or nucleic acids) binding to the target protein (TP) and hence capable of use as surrogates for the unknown ligand in steps (2) and (3).
- 15 (2) Screen at least one complementary library, preferably a combinatorial library, (which is not limited to, and may not even include, peptides, or nucleic acids and hence is referred to on occasion as a "compound library") for compounds which inhibit
20 the binding of one or more surrogates from step (1) to TP, and, optionally.
- (3) Determine whether the inhibitory compound mediates the biological activity of the said TP.